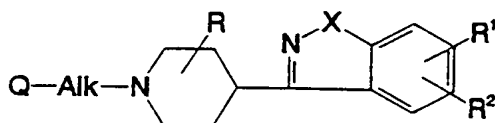


What Is Claimed Is:

1. A method of treating warm blooded animals suffering from psychotic disorders comprising the administration thereto of a pharmaceutically effective amount of a ^{sustained-release} biodegradable and biocompatible microparticle composition comprising a 1,2-benzazole of the formula

TO 400



and the pharmaceutically acceptable acid addition salts thereof, wherein

R is hydrogen or alkyl of 1 to 6 carbon atoms;

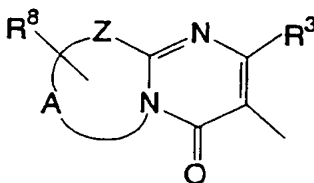
R¹ and R² are independently selected from the group consisting of hydrogen, halo, hydroxy, alkyloxy of 1 to 6 carbon atoms, and C alkyl of 1 to 6 carbon atoms;

X is O or S;

Alk is C₁₋₄ alkanediyl; and

Q is a radical of formula

TO 401



wherein

R³ is hydrogen or alkyl of 1 to 6 carbon atoms;

Z is —S—, —CH₂—, or —CR⁴=CR⁵—; where R⁴ and R⁵ are independently selected from the group consisting of hydrogen or alkyl of 1 to 6 carbon atoms;

A is a bivalent radical $-\text{CH}_2-\text{CH}_2-$, $-\text{CH}_2-\text{CH}_2-\text{CH}_2-$ or $\text{CR}^6=\text{CR}^7-$; where R^6 and R^7 are independently selected from the group consisting of hydrogen, halo, amino or alkyl of 1 to 6 carbon atoms; and

R^8 is hydrogen or hydroxyl;
and biodegradable and biocompatible
~~within~~ a polymeric matrix.

2. The method of claim 1, wherein the polymeric matrix material of said microparticle is selected from the group consisting of poly(glycolic acid), poly-D,L-lactic acid, poly-L-lactic acid, copolymers of the foregoing, poly(aliphatic carboxylic acids), copolyoxalates, polycaprolactone, polydioxonone, poly(ortho carbonates), poly(acetals), poly(lactic acid-caprolactone), polyorthoesters, poly(glycolic acid-caprolactone), polyanhydrides, albumin, casein, and waxes.
3. The method of claim 1, wherein said 1,2-benzazole comprises 1 to 90 wt.% of said microparticles.
4. The method of claim 1, wherein said 1,2-benzazole comprises about 35 to 40 wt.% of said microparticles.
5. The method of claim 1, wherein said microparticles range in size from 1 to 500 microns.
6. The method of claim 1, wherein said microparticles range in size from 25 to 180 microns.
7. The method of claim 1, wherein said microparticles are formulated in a liquid injection vehicle.

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R is hydrogen or alkyl of 1 to 6 carbon atoms;

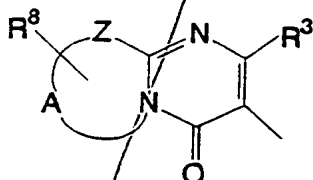
R¹ and R² are independently selected from the group consisting of hydrogen, halo, hydroxy, alkyloxy of 1 to 6 carbon atoms, and C alkyl of 1 to 6 carbon atoms;

X is O or S;

Alk is C_{1-4} alkanediyl; and

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Q is a radical of formula



wherein

R^3 is hydrogen or alkyl of 1 to 6 carbon atoms;

Z is $-S-$, $-CH_2-$, or $-CR^4=CR^5-$; where R^4 and R^5 are independently selected from the group consisting of hydrogen or alkyl of 1 to 6 carbon atoms;

A is a bivalent radical $-CH_2-CH_2-$, $-CH_2-CH_2-CH_2-$ or $CR^6=CR^7-$; where R^6 and R^7 are independently selected from the group consisting of hydrogen, halo, amino or alkyl of 1 to 6 carbon atoms; and

R^8 is hydrogen or hydroxyl;

within a polymeric matrix.

13. The pharmaceutical composition of claim 12, wherein the polymeric matrix material of said microparticle is selected from the group consisting of poly(glycolic acid), poly-D,L-lactic acid, poly-L-lactic acid, copolymers of the foregoing, poly(aliphatic carboxylic acids), polyoxalates, polycaprolactone, polydioxanone, poly(ortho carbonates), poly(acetals), poly(lactic acid-caprolactone), polyorthoesters, poly(glycolic acid-caprolactone), polyanhydrides, albumin, casein, and waxes.

14. The pharmaceutical composition of claim 12, wherein said 1,2-benzazole comprises 1 to 90 wt. % of said microparticles.

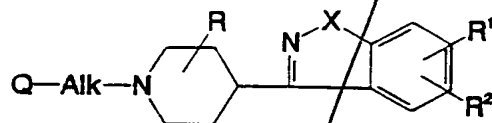
15. The pharmaceutical composition of claim 12, wherein said 1,2-benzazole comprises about 35 to 40 wt. % of said microparticles.

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16. The pharmaceutical composition of claim 12, wherein said microparticles range in size from 1 to 500 microns.
17. The pharmaceutical composition of claim 12, wherein said microparticles range in size from 25 to 180 microns.
18. The pharmaceutical composition of claim 12, wherein said microparticles are formulated in a liquid injection vehicle.
19. The pharmaceutical composition of claim 18, wherein said liquid vehicle is selected from the group consisting of
- A. physiological saline solution and
 - B. an aqueous solution of carboxymethyl cellulose with a surfactant.
20. The pharmaceutical composition of claim 12, wherein said microparticles are administered by intra-muscular injection.
21. The pharmaceutical composition of claim 12, wherein said microparticles are administered by subcutaneous injection.
22. The pharmaceutical composition of claim 12, wherein the 1,2-benzazole is selected from the group consisting of 3-[2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl-4H-pyrido[1,2-a]pyrimidin-4-one and the pharmaceutically acceptable acid addition salts thereof.
23. A method of inhibiting serotonergic overactivity or dopaminergic overstimulation in animals wherein said method comprises administration

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of a biodegradable and biocompatible microparticle composition comprising a 1,2-benzazole of the formula



and the pharmaceutically acceptable acid addition salts thereof, wherein

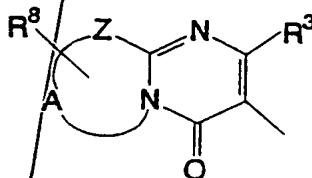
R is hydrogen or alkyl of 1 to 6 carbon atoms;

R¹ and R² are independently selected from the group consisting of hydrogen, halo, hydroxy, alkyloxy of 1 to 6 carbon atoms, and C alkyl of 1 to 6 carbon atoms;

X is O or S;

Alk is C₁₋₄ alkanediyl; and

Q is a radical of formula



wherein

R³ is hydrogen or alkyl of 1 to 6 carbon atoms;

Z is —S—, —CH₂—, or —CR⁴=CR⁵—; where R⁴ and R⁵ are independently selected from the group consisting of hydrogen or alkyl of 1 to 6 carbon atoms;

A is a bivalent radical —CH₂—CH₂—, —CH₂—CH₂—CH₂— or CR⁶=CR⁷—; where R⁶ and R⁷ are independently selected from the group consisting of hydrogen, halo, amino or alkyl of 1 to 6 carbon atoms; and

R⁸ is hydrogen or hydroxyl;

within a polymeric matrix.

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24. The method of claim 23, wherein the polymeric matrix material of said microparticle is selected from the group consisting of poly(glycolic acid), poly-D,L-lactic acid, poly-L-lactic acid, copolymers of the foregoing, poly(aliphatic carboxylic acids), copolyoxalates, polycaprolactone, polydioxonone, poly(ortho carbonates), poly(acetals), poly(lactic acid-caprolactone), polyorthoesters, poly(glycolic acid-caprolactone), polyanhydrides, albumin, casein, and waxes.
25. The method of claim 23, wherein said 1,2-benzazole comprises about 35 to 40 wt. % of said microparticles.
26. The method of claim 23, wherein said microparticles range in size from 25 to 180 microns.
27. The method of claim 23, wherein the 1,2-benzazole is selected from the group consisting of 3-[2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl-4H-pyrido[1,2-a]pyrimidin-4-one and the pharmaceutically acceptable acid addition salts thereof.

A₀₀
A_c

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~~R⁸ is hydrogen or hydroxyl~~
~~within a polymeric matrix.~~

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